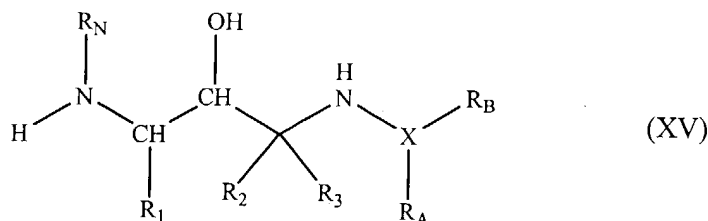


WE CLAIM:

1. A substituted amine of formula (XV)



where  $\text{R}_1$  is:

- (I)  $\text{C}_1\text{-C}_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $\text{C}_1\text{-C}_3$  alkyl,  $\text{C}_1\text{-C}_7$  alkyl (optionally substituted with  $\text{C}_1\text{-C}_3$  alkyl and  $\text{C}_1\text{-C}_3$  alkoxy),  $-\text{F}$ ,  $-\text{Cl}$ ,  $-\text{Br}$ ,  $-\text{I}$ ,  $-\text{OH}$ ,  $-\text{SH}$ ,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ ,  $\text{C}_1\text{-C}_3$  alkoxy,  $-\text{NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are  $-\text{H}$  or  $\text{C}_1\text{-C}_6$  alkyl, and  $-\text{OC}=\text{O NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above,

(II)  $-\text{CH}_2\text{-S(O)}_{0-2}\text{-(C}_1\text{-C}_6\text{ alkyl)}$ ,

(III)  $-\text{CH}_2\text{-CH}_2\text{-S(O)}_{0-2}\text{-(C}_1\text{-C}_6\text{ alkyl)}$ ,

- (IV)  $\text{C}_2\text{-C}_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of  $-\text{F}$ ,  $-\text{Cl}$ ,  $-\text{OH}$ ,  $-\text{SH}$ ,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ ,  $\text{C}_1\text{-C}_3$  alkoxy, and  $-\text{NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are  $-\text{H}$  or  $\text{C}_1\text{-C}_6$  alkyl,

- (V)  $\text{C}_2\text{-C}_6$  alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of  $-\text{F}$ ,  $-\text{Cl}$ ,  $-\text{OH}$ ,  $-\text{SH}$ ,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ ,  $\text{C}_1\text{-C}_3$  alkoxy, and  $-\text{NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are  $-\text{H}$  or  $\text{C}_1\text{-C}_6$  alkyl,

(VI)  $-(\text{CH}_2)_{n1}\text{-(R}_{1\text{-aryl}})$  where  $n_1$  is zero or one and where  $\text{R}_{1\text{-aryl}}$  is phenyl, 1-naphthyl, 2-naphthyl and indanyl, indenyl, dihydronaphthalyl, or tetralinyl optionally substituted with one, two, three or four of the following substituents on the aryl ring:

- (A)  $\text{C}_1\text{-C}_6$  alkyl optionally substituted with one, two or three substituents selected from the group consisting of  $\text{C}_1\text{-C}_3$  alkyl,  $-\text{F}$ ,  $-\text{Cl}$ ,  $-\text{Br}$ ,  $-\text{I}$ ,  $-\text{OH}$ ,  $-\text{SH}$ ,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ , and  $\text{C}_1\text{-C}_3$  alkoxy, and  $-\text{NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above,

- (B)  $\text{C}_2\text{-C}_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of  $-\text{F}$ ,  $-\text{Cl}$ ,  $-\text{OH}$ ,  $-\text{SH}$ ,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ ,  $\text{C}_1\text{-C}_3$  alkoxy, and  $-\text{NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are  $-\text{H}$  or  $\text{C}_1\text{-C}_6$  alkyl,

(C) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

5 (D) -F, Cl, -Br or -I,

(F) -C<sub>1</sub>-C<sub>6</sub> alkoxy optionally substituted with one, two or three of -F,

(G) -NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are as defined below,

(H) -OH,

10 (I) -C≡N,

(J) C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(K) -CO-(C<sub>1</sub>-C<sub>4</sub> alkyl),

15 (L) -SO<sub>2</sub>-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(M) -CO-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, or

(N) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>4</sub> alkyl),

(VII) -(CH<sub>2</sub>)<sub>n1</sub>-(R<sub>1-heteroaryl</sub>) where n<sub>1</sub> is as defined above and where R<sub>1-heteroaryl</sub> is selected from the group consisting of:

20 pyridinyl,  
pyrimidinyl,  
quinolinyl,  
benzothienyl,  
indolyl,  
25 indolinyl,  
pyridazinyl,  
pyrazinyl,  
isoquinolyl,  
quinazolinyl,  
30 quinoxalinyl,  
phthalazinyl,  
imidazolyl,  
isoxazolyl,

5 pyrazolyl,  
oxazolyl,  
thiazolyl,  
indolizinyI,  
indazolyl,  
benzothiazolyl,  
benzimidazolyl,  
benzofuranyl,  
10 furanyl,  
thienyl,  
pyrrolyl,  
oxadiazolyl,  
thiadiazolyl,  
15 triazolyl,  
tetrazolyl,  
oxazolopyridinyl,  
imidazopyridinyl,  
isothiazolyl,  
20 naphthyridinyl,  
cinnolinyI,  
carbazolyl,  
beta-carbolinyl,  
isochromanyl,  
chromanyl,  
25 tetrahydroisoquinolinyI,  
isoindolinyI,  
isobenzotetrahydrofuranyl,  
isobenzotetrahydrothienyl,  
isobenzothienyl,  
30 benzoxazolyl,  
pyridopyridinyl,  
benzotetrahydrofuranyl,  
benzotetrahydrothienyl,  
purinyl,

5

benzodioxolyl,  
 triazinyl,  
 phenoxazinyl,  
 phenothiazinyl,  
 pteridinyl,  
 benzothiazolyl,  
 imidazopyridinyl,  
 imidazothiazolyl,  
 dihydrobenzisoxazinyl,

10

benzisoxazinyl,  
 benzoxazinyl,  
 dihydrobenzisothiazinyl,  
 benzopyranyl,

15

benzothiopyranyl,  
 coumarinyl,  
 isocoumarinyl,  
 chromonyl,  
 chromanonyl,

20

pyridinyl-N-oxide,  
 tetrahydroquinolinyl  
 dihydroquinolinyl  
 dihydroquinolinonyl  
 dihydroisoquinolinonyl

25

dihydrocoumarinyl  
 dihydroisocoumarinyl  
 isoindolinonyl

30

benzodioxanyl  
 benzoxazolinonyl  
 pyrrolyl N-oxide,  
 pyrimidinyl N-oxide,  
 pyridazinyl N-oxide,  
 pyrazinyl N-oxide,  
 quinolinyl N-oxide,  
 indolyl N-oxide,

indoliny1 N-oxide,  
 isoquinoly1 N-oxide,  
 quinazoliny1 N-oxide,  
 quinoxaliny1 N-oxide,  
 5 phthalaziny1 N-oxide,  
 imidazolyl N-oxide,  
 isoxazolyl N-oxide,  
 oxazolyl N-oxide,  
 thiazolyl N-oxide,  
 10 indoliziny1 N-oxide,  
 indazolyl N-oxide,  
 benzothiazolyl N-oxide,  
 benzimidazolyl N-oxide,  
 pyrroly1 N-oxide,  
 15 oxadiazolyl N-oxide,  
 thiadiazolyl N-oxide,  
 triazolyl N-oxide,  
 tetrazolyl N-oxide,  
 benzothiopyranyl S-oxide, and  
 20 benzothiopyranyl S,S-dioxide,

where the  $R_{1\text{-heteroaryl}}$  group is bonded to  $-(CH_2)_{n1}-$  by any ring atom of the parent  $R_{1\text{-heteroaryl}}$  group substituted by hydrogen such that the new bond to the  $R_{1\text{-heteroaryl}}$  group replaces the hydrogen atom and its bond, where heteroaryl is optionally substituted with one, two, three or four of:

- 25 (1)  $C_1-C_6$  alkyl optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C $\equiv$ N, -CF<sub>3</sub>,  $C_1-C_3$  alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,
- (2)  $C_2-C_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -
- 30 Cl, -OH, -SH, -C $\equiv$ N, -CF<sub>3</sub>,  $C_1-C_3$  alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or  $C_1-C_6$  alkyl,
- (3)  $C_2-C_6$  alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -

Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(4) -F, Cl, -Br or -I,

(6) -C<sub>1</sub>-C<sub>6</sub> alkoxy optionally substituted with one, two, or  
5 three of -F,

(7) -NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are as defined below,

(8) -OH,

(9) -C≡N,

(10) C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two  
10 or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>,  
C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(11) -CO-(C<sub>1</sub>-C<sub>4</sub> alkyl),

(12) -SO<sub>2</sub>-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined  
above,

(13) -CO-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined  
15 above, or

(14) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>4</sub> alkyl), with the proviso that when n<sub>1</sub> is  
zero R<sub>1-heteroaryl</sub> is not bonded to the carbon chain by nitrogen; or

(VIII) -(CH<sub>2</sub>)<sub>n1</sub>-(R<sub>1-heterocycle</sub>) where n<sub>1</sub> is as defined above and R<sub>1-heterocycle</sub>  
20 is selected from the group consisting of:

morpholinyl,

thiomorpholinyl,

thiomorpholinyl S-oxide,

thiomorpholinyl S,S-dioxide,

25 piperazinyl,

homopiperazinyl,

pyrrolidinyl,

pyrrolinyl,

tetrahydropyranyl,

30 piperidinyl,

tetrahydrofuranyl,

tetrahydrothienyl,

homopiperidinyl,

homomorpholinyl,  
 homothiomorpholinyl,  
 homothiomorpholinyl S,S-dioxide,  
 oxazolidinonyl,  
 dihydropyrazolyl,  
 dihydropyrrolyl,  
 dihydropyrazinyl,  
 dihydropyridinyl,  
 dihydropyrimidinyl,  
 dihydrofuryl,  
 dihydropyranyl,  
 tetrahydrothienyl S-oxide,  
 tetrahydrothienyl S,S-dioxide, and  
 homothiomorpholinyl S-oxide,

where the  $R_{1\text{-heterocycle}}$  group is bonded by any atom of the parent  $R_1$ -heterocycle group substituted by hydrogen such that the new bond to the  $R_{1\text{-heterocycle}}$  group replaces the hydrogen atom and its bond, where heterocycle is optionally substituted with one, two, three or four:

(1)  $C_1$ - $C_6$  alkyl optionally substituted with one, two or three substituents selected from the group consisting of  $C_1$ - $C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C $\equiv$ N, -CF<sub>3</sub>,  $C_1$ - $C_3$  alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(2)  $C_2$ - $C_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C $\equiv$ N, -CF<sub>3</sub>,  $C_1$ - $C_3$  alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or  $C_1$ - $C_6$  alkyl,

(3)  $C_2$ - $C_6$  alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C $\equiv$ N, -CF<sub>3</sub>,  $C_1$ - $C_3$  alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or  $C_1$ - $C_6$  alkyl,

(4) -F, Cl, -Br or -I,

(5)  $C_1$ - $C_6$  alkoxy,

(6) - $C_1$ - $C_6$  alkoxy optionally substituted with one, two, or three -F,

- (7)  $-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are as defined below,  
 (8)  $-OH$ ,  
 (9)  $-C\equiv N$ ,  
 (10)  $C_3-C_7$  cycloalkyl, optionally substituted with one, two  
 5 or three substituents selected from the group consisting of  $-F$ ,  $-Cl$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  
 $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are  $-H$  or  $C_1-C_6$  alkyl,  
 (11)  $-CO-(C_1-C_4 \text{ alkyl})$ ,  
 (12)  $-SO_2-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined  
 above,  
 10 (13)  $-CO-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined  
 above,  
 (14)  $-SO_2-(C_1-C_4 \text{ alkyl})$ , or  
 (15)  $=O$ , with the proviso that when  $n_1$  is zero  $R_{1-\text{heterocycle}}$  is  
 not bonded to the carbon chain by nitrogen;

15

where  $R_2$  is:

- (I)  $-H$ ,  
 (II)  $C_1-C_6$  alkyl, optionally substituted with one, two or three substituents  
 selected from the group consisting of  $C_1-C_3$  alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  
 20  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,  
 (III)  $-(CH_2)_{0-4}-R_{2-1}$  where  $R_{2-1}$  is  $R_{1-\text{aryl}}$  or  $R_{1-\text{heteroaryl}}$  where  $R_{1-\text{aryl}}$  and  $R_{1-\text{heteroaryl}}$   
 are as defined above;  
 (IV)  $C_2-C_6$  alkenyl with one or two double bonds, optionally substituted  
 with one, two or three substituents selected from the group consisting of  $-F$ ,  $-Cl$ ,  $-OH$ ,  $-$   
 25  $SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are  $-H$  or  $C_1-C_6$  alkyl,  
 (V)  $C_2-C_6$  alkynyl with one or two triple bonds, optionally substituted with  
 one, two or three substituents selected from the group consisting of  $-F$ ,  $-Cl$ ,  $-OH$ ,  $-SH$ ,  $-$   
 $C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are  $-H$  or  $C_1-C_6$  alkyl, or  
 (VI)  $-(CH_2)_{0-4}-C_3-C_7$  cycloalkyl, optionally substituted with one, two or  
 30 three substituents selected from the group consisting of  $-F$ ,  $-Cl$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  
 $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are  $-H$  or  $C_1-C_6$  alkyl;

where  $R_3$  is:



(I)-H,

(II) C<sub>1</sub>-C<sub>6</sub> alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

5 (III) -(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>2-1</sub> where R<sub>2-1</sub> is R<sub>1-aryl</sub> or R<sub>1-heteroaryl</sub> where R<sub>1-aryl</sub> and R<sub>1-heteroaryl</sub> are as defined above

(IV) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds,

(V) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds; or

(VI) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or  
10 three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

and where R<sub>2</sub> and R<sub>3</sub> are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six, or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of  
15 -O-, -S-, -SO<sub>2</sub>-, and -NR<sub>N-2</sub>-, where R<sub>N-2</sub> is selected from the group consisting of:

(a) -H,

(b) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one substituent selected from the group consisting of:

(i) -OH, and

20 (ii) -NH<sub>2</sub>,

(c) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two, or three -F, -Cl, -Br, or -I,

(d) -C<sub>3</sub>-C<sub>7</sub> cycloalkyl,

(e) -(C<sub>1</sub>-C<sub>2</sub> alkyl)-(C<sub>3</sub>-C<sub>7</sub> cycloalkyl),

25 (f) -(C<sub>1</sub>-C<sub>6</sub> alkyl)-O-(C<sub>1</sub>-C<sub>3</sub> alkyl),

(g) -C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds,

(h) -C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds,

(i) -C<sub>1</sub>-C<sub>6</sub> alkyl chain with one double bond and one triple bond,

30 (j) -R<sub>1-aryl</sub> where R<sub>1-aryl</sub> is as defined above, and

(k) -R<sub>1-heteroaryl</sub> where R<sub>1-heteroaryl</sub> is as defined above;

where R<sub>N</sub> is:

(I)  $R_{N-1}-X_N$ - where  $X_N$  is selected from the group consisting of:

(A)  $-\text{CO}-$ ,

(B)  $-\text{SO}_2-$ ,

(C)  $-(\text{CR}'\text{R}'')_{1-6}$  where  $\text{R}'$  and  $\text{R}''$  are the same or different and are

5  $-\text{H}$  and  $\text{C}_1\text{-C}_4$  alkyl,

(D)  $-\text{CO}-(\text{CR}'\text{R}'')_{1-6}-X_{N-1}$  where  $X_{N-1}$  is selected from the group consisting of  $-\text{O}-$ ,  $-\text{S}-$  and  $-\text{NR}'-$  and where  $\text{R}'$  and  $\text{R}''$  are as defined above, and

(E) a single bond;

where  $R_{N-1}$  is selected from the group consisting of:

10 (A)  $R_{N\text{-aryl}}$  where  $R_{N\text{-aryl}}$  is phenyl, 1-naphthyl, 2-naphthyl, tetralinyl, indanyl, 6,7,8,9-tetrahydro-5H-benzo[a]cycloheptenyl, or dihydronaphthyl optionally substituted with one, two or three of the following substituents which can be the same or different and are:

(1)  $\text{C}_1\text{-C}_6$  alkyl, optionally substituted with one, two or  
15 three substituents selected from the group consisting of  $\text{C}_1\text{-C}_3$  alkyl,  $-\text{F}$ ,  $-\text{Cl}$ ,  $-\text{Br}$ ,  $-\text{I}$ ,  $-\text{OH}$ ,  $-\text{SH}$ ,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ ,  $\text{C}_1\text{-C}_3$  alkoxy, and  $-\text{NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above,

(2)  $-\text{OH}$ ,

(3)  $-\text{NO}_2$ ,

20 (4)  $-\text{F}$ ,  $-\text{Cl}$ ,  $-\text{Br}$ ,  $-\text{I}$ ,

(5)  $-\text{CO}-\text{OH}$ ,

(6)  $-\text{C}\equiv\text{N}$ ,

(7)  $-(\text{CH}_2)_{0-4}-\text{CO}-\text{NR}_{N-2}\text{R}_{N-3}$  where  $\text{R}_{N-2}$  and  $\text{R}_{N-3}$  are the same or different and are selected from the group consisting of:

25 (a)  $-\text{H}$ ,

(b)  $-\text{C}_1\text{-C}_6$  alkyl optionally substituted with one substituent selected from the group consisting of:

(i)  $-\text{OH}$ , and

(ii)  $-\text{NH}_2$ ,

30 (c)  $-\text{C}_1\text{-C}_6$  alkyl optionally substituted with one, two, or three  $-\text{F}$ ,  $-\text{Cl}$ ,  $-\text{Br}$ , or  $-\text{I}$ ,

(d)  $-\text{C}_3\text{-C}_7$  cycloalkyl,

(e)  $-(\text{C}_1\text{-C}_2 \text{ alkyl})-(\text{C}_3\text{-C}_7 \text{ cycloalkyl})$ ,

- (f)  $-(C_1-C_6 \text{ alkyl})-O-(C_1-C_3 \text{ alkyl})$ ,  
 (g)  $-C_2-C_6 \text{ alkenyl}$  with one or two double bonds,  
 (h)  $-C_2-C_6 \text{ alkynyl}$  with one or two triple bonds,  
 (i)  $-C_1-C_6 \text{ alkyl chain}$  with one double bond and one  
 5 triple bond,  
 (j)  $-R_{1\text{-aryl}}$  where  $R_{1\text{-aryl}}$  is as defined above, and  
 (k)  $-R_{1\text{-heteroaryl}}$  where  $R_{1\text{-heteroaryl}}$  is as defined above,  
 (8)  $-(CH_2)_{0-4}-CO-(C_1-C_{12} \text{ alkyl})$ ,  
 (9)  $-(CH_2)_{0-4}-CO-(C_2-C_{12} \text{ alkenyl}$  with one, two or three  
 10 double bonds),  
 (10)  $-(CH_2)_{0-4}-CO-(C_2-C_{12} \text{ alkynyl}$  with one, two or three  
 triple bonds),  
 (11)  $-(CH_2)_{0-4}-CO-(C_3-C_7 \text{ cycloalkyl})$ ,  
 (12)  $-(CH_2)_{0-4}-CO-R_{1\text{-aryl}}$  where  $R_{1\text{-aryl}}$  is as defined above,  
 15 (13)  $-(CH_2)_{0-4}-CO-R_{1\text{-heteroaryl}}$  where  $R_{1\text{-heteroaryl}}$  is as defined  
 above,  
 (14)  $-(CH_2)_{0-4}-CO-R_{1\text{-heterocycle}}$  where  $R_{1\text{-heterocycle}}$  is as  
 defined above,  
 (15)  $-(CH_2)_{0-4}-CO-R_{N-4}$  where  $R_{N-4}$  is selected from the  
 20 group consisting of morpholinyl, thiomorpholinyl, piperazinyl, piperidinyl,  
 homomorpholinyl, homothiomorpholinyl, homothiomorpholinyl S-oxide,  
 homothiomorpholinyl S,S-dioxide, pyrrolinyl and pyrrolidinyl where each group is  
 optionally substituted with one, two, three, or four of  $C_1-C_6 \text{ alkyl}$ ,  
 (16)  $-(CH_2)_{0-4}-CO-O-R_{N-5}$  where  $R_{N-5}$  is selected from the  
 25 group consisting of:  
 (a)  $C_1-C_6 \text{ alkyl}$ ,  
 (b)  $-(CH_2)_{0-2}-(R_{1\text{-aryl}})$  where  $R_{1\text{-aryl}}$  is as defined  
 above,  
 (c)  $C_2-C_6 \text{ alkenyl}$  containing one or two double  
 30 bonds,  
 (d)  $C_2-C_6 \text{ alkynyl}$  containing one or two triple  
 bonds,  
 (e)  $C_3-C_7 \text{ cycloalkyl}$ , and

(f)  $-(\text{CH}_2)_{0-2}-(\text{R}_{1-\text{heteroaryl}})$  where  $\text{R}_{1-\text{heteroaryl}}$  is as defined above,

(17)  $-(\text{CH}_2)_{0-4}-\text{SO}_2-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$  where  $\text{R}_{\text{N-2}}$  and  $\text{R}_{\text{N-3}}$  are as defined above,

5 (18)  $-(\text{CH}_2)_{0-4}-\text{SO}-(\text{C}_1-\text{C}_8 \text{ alkyl})$ ,

(19)  $-(\text{CH}_2)_{0-4}-\text{SO}_2-(\text{C}_1-\text{C}_{12} \text{ alkyl})$ ,

(20)  $-(\text{CH}_2)_{0-4}-\text{SO}_2-(\text{C}_3-\text{C}_7 \text{ cycloalkyl})$ ,

(21)  $-(\text{CH}_2)_{0-4}-\text{N}(\text{H or } \text{R}_{\text{N-5}})-\text{CO}-\text{O}-\text{R}_{\text{N-5}}$  where  $\text{R}_{\text{N-5}}$  can be the same or different and is as defined above,

10 (22)  $-(\text{CH}_2)_{0-4}-\text{N}(\text{H or } \text{R}_{\text{N-5}})-\text{CO}-\text{N}(\text{R}_{\text{N-5}})_2$ , where  $\text{R}_{\text{N-5}}$  can be the same or different and is as defined above,

(23)  $-(\text{CH}_2)_{0-4}-\text{N}-\text{CS}-\text{N}(\text{R}_{\text{N-5}})_2$ , where  $\text{R}_{\text{N-5}}$  can be the same or different and is as defined above,

(24)  $-(\text{CH}_2)_{0-4}-\text{N}(\text{H or } \text{R}_{\text{N-5}})-\text{CO}-\text{R}_{\text{N-2}}$  where  $\text{R}_{\text{N-5}}$  and  $\text{R}_{\text{N-2}}$  can be the same or different and are as defined above,

(25)  $-(\text{CH}_2)_{0-4}-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$  where  $\text{R}_{\text{N-2}}$  and  $\text{R}_{\text{N-3}}$  can be the same or different and are as defined above,

(26)  $-(\text{CH}_2)_{0-4}-\text{R}_{\text{N-4}}$  where  $\text{R}_{\text{N-4}}$  is as defined above,

(27)  $-(\text{CH}_2)_{0-4}-\text{O}-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})$ ,

20 (28)  $-(\text{CH}_2)_{0-4}-\text{O}-\text{P}(\text{O})-(\text{OR}_{\text{N-aryl-1}})_2$  where  $\text{R}_{\text{N-aryl-1}}$  is  $-\text{H}$  or  $\text{C}_1-\text{C}_4 \text{ alkyl}$ ,

(29)  $-(\text{CH}_2)_{0-4}-\text{O}-\text{CO}-\text{N}(\text{R}_{\text{N-5}})_2$  where  $\text{R}_{\text{N-5}}$  is as defined above,

(30)  $-(\text{CH}_2)_{0-4}-\text{O}-\text{CS}-\text{N}(\text{R}_{\text{N-5}})_2$  where  $\text{R}_{\text{N-5}}$  is as defined above,

(31)  $-(\text{CH}_2)_{0-4}-\text{O}-(\text{R}_{\text{N-5}})_2$  where  $\text{R}_{\text{N-5}}$  is as defined above,

(32)  $-(\text{CH}_2)_{0-4}-\text{O}-(\text{R}_{\text{N-5}})_2-\text{COOH}$  where  $\text{R}_{\text{N-5}}$  is as defined above,

(33)  $-(\text{CH}_2)_{0-4}-\text{S}-(\text{R}_{\text{N-5}})_2$  where  $\text{R}_{\text{N-5}}$  is as defined above,

30 (34)  $-(\text{CH}_2)_{0-4}-\text{O}-(\text{C}_1-\text{C}_6 \text{ alkyl optionally substituted with one, two, three, four, or five of } -\text{F})$ ,

(35)  $\text{C}_3-\text{C}_7 \text{ cycloalkyl}$ ,

(36) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds optionally substituted with C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, or -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(37) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds optionally substituted with C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, or -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(38) -(CH<sub>2</sub>)<sub>0-4</sub>-N(-H or R<sub>N-5</sub>)-SO<sub>2</sub>-R<sub>N-2</sub> where R<sub>N-5</sub> and R<sub>N-2</sub> can be the same or different and are as described above, or

(39) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl,  
 (B) -R<sub>N-heteroaryl</sub> where R<sub>N-heteroaryl</sub> is selected from the group consisting of:

pyridinyl,  
 pyrimidinyl,  
 quinolinyl,  
 benzothienyl,  
 indolyl,  
 indolinyl,  
 pyridazinyl,  
 pyrazinyl,  
 isoindolyl,  
 isoquinolyl,  
 quinazolinyl,  
 quinoxalinyl,  
 phthalazinyl,  
 imidazolyl,  
 isoxazolyl,  
 pyrazolyl,  
 oxazolyl,  
 thiazolyl,  
 indolizinyl,  
 indazolyl,  
 benzothiazolyl,  
 benzimidazolyl,

5 benzofuranyl,  
furanyl,  
thienyl,  
pyrrolyl,  
oxadiazolyl,  
thiadiazolyl,  
triazolyl,  
tetrazolyl,  
10 oxazolopyridinyl,  
imidazopyridinyl,  
isothiazolyl,  
naphthyridinyl,  
cinnolinyl,  
15 carbazolyl,  
beta-carbolinyl,  
isochromanyl,  
chromanyl,  
tetrahydroisoquinolinyl,  
isoindolinyl,  
20 isobenzotetrahydrofuranyl,  
isobenzotetrahydrothienyl,  
isobenzothienyl,  
benzoxazolyl,  
pyridopyridinyl,  
25 benzotetrahydrofuranyl,  
benzotetrahydrothienyl,  
purinyl,  
benzodioxolyl,  
triazinyl,  
30 phenoxazinyl,  
phenothiazinyl,  
pteridinyl,  
benzothiazolyl,  
imidazopyridinyl,

5 imidazothiazolyl,  
dihydrobenzisoxazinyl,  
benzisoxazinyl,  
benzoxazinyl,  
dihydrobenzisothiazinyl,  
benzopyranyl,  
benzothiopyranyl,  
coumarinyl,  
isocoumarinyl,  
10 chromonyl,  
chromanonyl,  
pyridinyl-N-oxide,  
tetrahydroquinolinyl,  
dihydroquinolinyl,  
15 dihydroquinolinonyl,  
dihydroisoquinolinonyl,  
dihydrocoumarinyl,  
dihydroisocoumarinyl,  
isoindolinonyl,  
20 benzodioxanyl,  
benzoxazolinonyl,  
pyrrolyl N-oxide,  
pyrimidinyl N-oxide,  
pyridazinyl N-oxide,  
25 pyrazinyl N-oxide,  
quinolinyl N-oxide,  
indolyl N-oxide,  
indolinyl N-oxide,  
isoquinolyl N-oxide,  
30 quinazolinyl N-oxide,  
quinoxalinyl N-oxide,  
phthalazinyl N-oxide,  
imidazolyl N-oxide,  
isoxazolyl N-oxide,

oxazolyl N-oxide,  
 thiazolyl N-oxide,  
 indoliziny N-oxide,  
 indazolyl N-oxide,  
 5 benzothiazolyl N-oxide,  
 benzimidazolyl N-oxide,  
 pyrrolyl N-oxide,  
 oxadiazolyl N-oxide,  
 thiadiazolyl N-oxide,  
 10 triazolyl N-oxide,  
 tetrazolyl N-oxide,  
 benzothiopyranyl S-oxide, and  
 benzothiopyranyl S,S-dioxide

where the  $R_{N\text{-heteroaryl}}$  group is bonded by any atom of the parent  $R_{N\text{-heteroaryl}}$  group substituted by hydrogen such that the new bond to the  $R_{N\text{-heteroaryl}}$  group replaces the hydrogen atom and its bond, where heteroaryl is optionally substituted with one, two, three, or four of:

(1)  $C_1\text{-}C_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1\text{-}C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C $\equiv$ N, -CF<sub>3</sub>,  $C_1\text{-}C_3$  alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(2) -OH,  
 (3) -NO<sub>2</sub>,  
 (4) -F, -Cl, -Br, or -I,  
 25 (5) -CO-OH,  
 (6) -C $\equiv$ N,  
 (7) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or different and are selected from the group consisting of:

(a) -H,  
 30 (b) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one substituent selected from the group consisting of:

(i) -OH, and  
 (ii) -NH<sub>2</sub>,



- (c)  $-C_1-C_6$  alkyl optionally substituted with one, two, or three  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,
- (d)  $-C_3-C_7$  cycloalkyl,
- (e)  $-(C_1-C_2 \text{ alkyl})-(C_3-C_7 \text{ cycloalkyl})$ ,
- (f)  $-(C_1-C_6 \text{ alkyl})-O-(C_1-C_3 \text{ alkyl})$ ,
- (g)  $-C_2-C_6$  alkenyl with one or two double bonds,
- (h)  $-C_2-C_6$  alkynyl with one or two triple bonds,
- (i)  $-C_1-C_6$  alkyl chain with one double bond and one triple bond,
- (j)  $-R_{1-aryl}$  where  $R_{1-aryl}$  is as defined above,
- (k)  $-R_{1-heteroaryl}$  where  $R_{1-heteroaryl}$  is as defined above,
- (8)  $-(CH_2)_{0-4}-CO-(C_1-C_{12} \text{ alkyl})$ ,
- (9)  $-(CH_2)_{0-4}-CO-(C_2-C_{12} \text{ alkenyl with one, two or three double bonds})$ ,
- (10)  $-(CH_2)_{0-4}-CO-(C_2-C_{12} \text{ alkynyl with one, two or three triple bonds})$ ,
- (11)  $-(CH_2)_{0-4}-CO-(C_3-C_7 \text{ cycloalkyl})$ ,
- (12)  $-(CH_2)_{0-4}-CO-R_{1-aryl}$  where  $R_{1-aryl}$  is as defined above,
- (13)  $-(CH_2)_{0-4}-CO-R_{1-heteroaryl}$  where  $R_{1-heteroaryl}$  is as defined above,
- (14)  $-(CH_2)_{0-4}-CO-R_{1-heterocycle}$  where  $R_{1-heterocycle}$  is as defined above,
- (15)  $-(CH_2)_{0-4}-CO-R_{N-4}$  where  $R_{N-4}$  is selected from the group consisting of morpholinyl, thiomorpholinyl, piperazinyl, piperidinyl, homomorpholinyl, homothiomorpholinyl, homothiomorpholinyl S-oxide, homothiomorpholinyl S,S-dioxide, pyrrolinyl and pyrrolidinyl where each group is optionally substituted with one, two, three, or four of  $C_1-C_6$  alkyl,
- (16)  $-(CH_2)_{0-4}-CO-O-R_{N-5}$  where  $R_{N-5}$  is selected from the group consisting of:
- (a)  $C_1-C_6$  alkyl,
- (b)  $-(CH_2)_{0-2}-(R_{1-aryl})$  where  $R_{1-aryl}$  is as defined above,
- (c)  $C_2-C_6$  alkenyl containing one or two double bonds,

(d) C<sub>2</sub>-C<sub>6</sub> alkynyl containing one or two triple bonds,

(e) C<sub>3</sub>-C<sub>7</sub> cycloalkyl, and

(f) -(CH<sub>2</sub>)<sub>0-2</sub>-(R<sub>1-heteroaryl</sub>) where R<sub>1-heteroaryl</sub> is as defined above,

(17) -(CH<sub>2</sub>)<sub>0-4</sub>-SO<sub>2</sub>-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are as defined above,

(18) -(CH<sub>2</sub>)<sub>0-4</sub>-SO-(C<sub>1</sub>-C<sub>8</sub> alkyl),

(19) -(CH<sub>2</sub>)<sub>0-4</sub>-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>12</sub> alkyl),

(20) -(CH<sub>2</sub>)<sub>0-4</sub>-SO<sub>2</sub>-(C<sub>3</sub>-C<sub>7</sub> cycloalkyl),

(21) -(CH<sub>2</sub>)<sub>0-4</sub>-N(H or R<sub>N-5</sub>)-CO-O-R<sub>N-5</sub> where R<sub>N-5</sub> can be the same or different and is as defined above,

(22) -(CH<sub>2</sub>)<sub>0-4</sub>-N(H or R<sub>N-5</sub>)-CO-N(R<sub>N-5</sub>)<sub>2</sub>, where R<sub>N-5</sub> can be the same or different and is as defined above,

(23) -(CH<sub>2</sub>)<sub>0-4</sub>-N-CS-N(R<sub>N-5</sub>)<sub>2</sub>, where R<sub>N-5</sub> can be the same or different and is as defined above,

(24) -(CH<sub>2</sub>)<sub>0-4</sub>-N(-H or R<sub>N-5</sub>)-CO-R<sub>N-2</sub> where R<sub>N-5</sub> and R<sub>N-2</sub> can be the same or different and are as defined above,

(25) -(CH<sub>2</sub>)<sub>0-4</sub>-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> can be the same or different and are as defined above,

(26) -(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>N-4</sub> where R<sub>N-4</sub> is as defined above,

(27) -(CH<sub>2</sub>)<sub>0-4</sub>-O-CO-(C<sub>1</sub>-C<sub>6</sub> alkyl),

(28) -(CH<sub>2</sub>)<sub>0-4</sub>-O-P(O)-(OR<sub>N-aryl-1</sub>)<sub>2</sub> where R<sub>N-aryl-1</sub> is -H or C<sub>1</sub>-C<sub>4</sub> alkyl,

(29) -(CH<sub>2</sub>)<sub>0-4</sub>-O-CO-N(R<sub>N-5</sub>)<sub>2</sub> where R<sub>N-5</sub> is as defined above,

(30) -(CH<sub>2</sub>)<sub>0-4</sub>-O-CS-N(R<sub>N-5</sub>)<sub>2</sub> where R<sub>N-5</sub> is as defined above,

(31) -(CH<sub>2</sub>)<sub>0-4</sub>-O-(R<sub>N-5</sub>)<sub>2</sub> where R<sub>N-5</sub> is as defined above,

(32) -(CH<sub>2</sub>)<sub>0-4</sub>-O-(R<sub>N-5</sub>)<sub>2</sub>-COOH where R<sub>N-5</sub> is as defined above,

(33) -(CH<sub>2</sub>)<sub>0-4</sub>-S-(R<sub>N-5</sub>)<sub>2</sub> where R<sub>N-5</sub> is as defined above,

(34) -(CH<sub>2</sub>)<sub>0-4</sub>-O-(C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two, three, four, or five of -F),

(35) C<sub>3</sub>-C<sub>7</sub> cycloalkyl,

(36) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds optionally substituted with C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, or -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

5 (37) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds optionally substituted with C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, or -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(38) -(CH<sub>2</sub>)<sub>0-4</sub>-N(-H or R<sub>N-5</sub>)-SO<sub>2</sub>-R<sub>N-2</sub> where R<sub>N-5</sub> and R<sub>N-2</sub> can be the same or different and are as defined above, or

10 (39) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl,

(C) R<sub>N-aryl</sub>-W-R<sub>N-aryl</sub>, where R<sub>N-aryl</sub> can be the same or different,

(D) R<sub>N-aryl</sub>-W-R<sub>N-heteroaryl</sub>,

(E) R<sub>N-aryl</sub>-W-R<sub>N-1-heterocycle</sub>, wherein R<sub>N-1-heterocycle</sub> is the same as R<sub>1-heterocycle</sub>, and R<sub>1-heterocycle</sub> is as defined above

15 (F) R<sub>N-heteroaryl</sub>-W-R<sub>N-aryl</sub>,

(G) R<sub>N-heteroaryl</sub>-W-R<sub>N-heteroaryl</sub>,

(H) R<sub>N-heteroaryl</sub>-W-R<sub>N-1-heterocycle</sub>,

(I) R<sub>N-heterocycle</sub>-W-R<sub>N-aryl</sub>, wherein R<sub>N-heterocycle</sub> is the same as R<sub>1-heterocycle</sub>, and R<sub>1-heterocycle</sub> is as defined above, and R<sub>N-aryl</sub> is as defined above,

20 (J) R<sub>N-heterocycle</sub>-W-R<sub>N-heteroaryl</sub>, and

(K) R<sub>N-heterocycle</sub>-W-R<sub>N-1-heterocycle</sub>,

where W is

(1) -(CH<sub>2</sub>)<sub>0-4</sub>-,

(2) -O-,

25 (3) -S(O)<sub>0-2</sub>-,

(4) -N(R<sub>N-5</sub>)- where R<sub>N-5</sub> is as defined above, or

(5) -CO-;

(II) -CO-(C<sub>1</sub>-C<sub>10</sub> alkyl) where alkyl is optionally substituted with one three substituents selected from the group consisting of:

30 (A) -OH,

(B) -C<sub>1</sub>-C<sub>6</sub> alkoxy,

(C) -C<sub>1</sub>-C<sub>6</sub> thioalkoxy,

(D) -CO-O-R<sub>N-8</sub> where R<sub>N-8</sub> is -H, C<sub>1</sub>-C<sub>6</sub> alkyl or -phenyl,

(E)  $-\text{CO}-\text{NR}_{\text{N}-2}\text{R}_{\text{N}-3}$  where  $\text{R}_{\text{N}-2}$  and  $\text{R}_{\text{N}-3}$  are the same or different and are as defined above,

(F)  $-\text{CO}-\text{R}_{\text{N}-4}$  where  $\text{R}_{\text{N}-4}$  is as defined above,

(G)  $-\text{SO}_2-(\text{C}_1-\text{C}_8 \text{ alkyl})$ ,

5 (H)  $-\text{SO}_2-\text{NR}_{\text{N}-2}\text{R}_{\text{N}-3}$  where  $\text{R}_{\text{N}-2}$  and  $\text{R}_{\text{N}-3}$  are the same or different and are as defined above,

(I)  $-\text{NH}-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})$ ,

(J)  $-\text{NH}-\text{CO}-\text{O}-\text{R}_{\text{N}-8}$  where  $\text{R}_{\text{N}-8}$  is as defined above,

(K)  $-\text{NR}_{\text{N}-2}\text{R}_{\text{N}-3}$  where  $\text{R}_{\text{N}-2}$  and  $\text{R}_{\text{N}-3}$  are the same or different and  
10 are as defined above,

(L)  $-\text{R}_{\text{N}-4}$  where  $\text{R}_{\text{N}-4}$  is as defined above,

(M)  $-\text{O}-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})$ ,

(N)  $-\text{O}-\text{CO}-\text{NR}_{\text{N}-8}\text{R}_{\text{N}-8}$  where  $\text{R}_{\text{N}-8}$  are the same or different and are  
as defined above,

15 (O)  $-\text{O}-(\text{C}_1-\text{C}_5 \text{ alkyl})-\text{COOH}$ ,

(P)  $-\text{O}-(\text{C}_1-\text{C}_6 \text{ alkyl})$  optionally substituted with one, two, or three of  
-F, -Cl, -Br, or -I),

(Q)  $-\text{NH}-\text{SO}_2-(\text{C}_1-\text{C}_6 \text{ alkyl})$ , and

(R) -F, or -Cl,

20 (III)  $-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})-\text{O}-(\text{C}_1-\text{C}_6 \text{ alkyl})$  where alkyl is optionally substituted with one, two, or three substituents selected from the group consisting of:

(A) -OH,

(B)  $-\text{C}_1-\text{C}_6$  alkoxy,

(C)  $-\text{C}_1-\text{C}_6$  thioalkoxy,

25 (D)  $-\text{CO}-\text{O}-\text{R}_{\text{N}-8}$  where  $\text{R}_{\text{N}-8}$  is -H,  $\text{C}_1-\text{C}_6$  alkyl or -phenyl,

(E)  $-\text{CO}-\text{NR}_{\text{N}-2}\text{R}_{\text{N}-3}$  where  $\text{R}_{\text{N}-2}$  and  $\text{R}_{\text{N}-3}$  are the same or different  
and are as defined above,

(F)  $-\text{CO}-\text{R}_{\text{N}-4}$  where  $\text{R}_{\text{N}-4}$  is as defined above,

(G)  $-\text{SO}_2-(\text{C}_1-\text{C}_8 \text{ alkyl})$ ,

30 (H)  $-\text{SO}_2-\text{NR}_{\text{N}-2}\text{R}_{\text{N}-3}$  where  $\text{R}_{\text{N}-2}$  and  $\text{R}_{\text{N}-3}$  are the same or different and are as defined above,

(I)  $-\text{NH}-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})$ ,

(J)  $-\text{NH}-\text{CO}-\text{O}-\text{R}_{\text{N}-8}$  where  $\text{R}_{\text{N}-8}$  is as defined above,

(K)  $-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are as defined above,

(L)  $-R_{N-4}$  where  $R_{N-4}$  is as defined above,

(M)  $-O-CO-(C_1-C_6 \text{ alkyl})$ ,

5 (N)  $-O-CO-NR_{N-8}R_{N-8}$  where  $R_{N-8}$  are the same or different and are as defined above,

(O)  $-O-(C_1-C_5 \text{ alkyl})-COOH$ ,

(P)  $-O-(C_1-C_6 \text{ alkyl})$  optionally substituted with one, two, or three of -F, -Cl, -Br, or -I),

10 (Q)  $-NH-SO_2-(C_1-C_6 \text{ alkyl})$ , and

(R) -F, or -Cl,

(IV)  $-CO-(C_1-C_6 \text{ alkyl})-S-(C_1-C_6 \text{ alkyl})$  where alkyl is optionally substituted with one, two, or three of substituents selected from the group consisting of:

(A) -OH,

15 (B)  $-C_1-C_6 \text{ alkoxy}$ ,

(C)  $-C_1-C_6 \text{ thioalkoxy}$ ,

(D)  $-CO-O-R_{N-8}$  where  $R_{N-8}$  is as defined above,

(E)  $-CO-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are as defined above,

20 (F)  $-CO-R_{N-4}$  where  $R_{N-4}$  is as defined above,

(G)  $-SO_2-(C_1-C_8 \text{ alkyl})$ ,

(H)  $-SO_2-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are as defined above,

(I)  $-NH-CO-(C_1-C_6 \text{ alkyl})$ ,

25 (J)  $-NH-CO-O-R_{N-8}$  where  $R_{N-8}$  is as defined above,

(K)  $-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are as defined above,

(L)  $-R_{N-4}$  where  $R_{N-4}$  is as defined above,

(M)  $-O-CO-(C_1-C_6 \text{ alkyl})$ ,

30 (N)  $-O-CO-NR_{N-8}R_{N-8}$  where  $R_{N-8}$  are the same or different and are as defined above,

(O)  $-O-(C_1-C_5 \text{ alkyl})-COOH$ ,

(P)  $-O-(C_1-C_6 \text{ alkyl optionally substituted with one, two, or three of } -F, -Cl, -Br, \text{ or } -I),$

(Q)  $-NH-SO_2-(C_1-C_6 \text{ alkyl}),$  and

(R)  $-F, \text{ or } -Cl,$

5 (V)  $-CO-CH(-(CH_2)_{0-2}-O-R_{N-10})-(CH_2)_{0-2}-R_{N-aryl}/R_{N-heteroaryl})$  where  $R_{N-aryl}$  and  $R_{N-heteroaryl}$  are as defined above, where  $R_{N-10}$  is selected from the group consisting of:

(A)  $-H,$

(B)  $C_1-C_6 \text{ alkyl},$

(C)  $C_3-C_7 \text{ cycloalkyl},$

10 (D)  $C_2-C_6 \text{ alkenyl with one double bond},$

(E)  $C_2-C_6 \text{ alkynyl with one triple bond},$

(F)  $R_{1-aryl}$  where  $R_{1-aryl}$  is as defined above, and

(G)  $R_{N-heteroaryl}$  where  $R_{N-heteroaryl}$  is as defined above, or

(VI)  $-CO-(C_3-C_8 \text{ cycloalkyl})$  where alkyl is optionally substituted with one  
15 or two substituents selected from the group consisting of:

(A)  $-(CH_2)_{0-4}-OH,$

(B)  $-(CH_2)_{0-4}-C_1-C_6 \text{ alkoxy},$

(C)  $-(CH_2)_{0-4}-C_1-C_6 \text{ thioalkoxy},$

(D)  $-(CH_2)_{0-4}-CO-O-R_{N-8}$  where  $R_{N-8}$  is  $-H, C_1-C_6 \text{ alkyl or phenyl},$

20 (E)  $-(CH_2)_{0-4}-CO-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are as defined above,

(F)  $-(CH_2)_{0-4}-CO-R_{N-4}$  where  $R_{N-4}$  is as defined above,

(G)  $-(CH_2)_{0-4}-SO_2-(C_1-C_8 \text{ alkyl}),$

(H)  $-(CH_2)_{0-4}-SO_2-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or

25 different and are as defined above,

(I)  $-(CH_2)_{0-4}-NH-CO-(C_1-C_6 \text{ alkyl}),$

(J)  $-NH-CO-O-R_{N-8}$  where  $R_{N-8}$  is as defined above,

(K)  $-(CH_2)_{0-4}-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or

different and are as defined above,

30 (L)  $-(CH_2)_{0-4}-R_{N-4}$  where  $R_{N-4}$  is as defined above,

(M)  $-O-CO-(C_1-C_6 \text{ alkyl}),$

(N)  $-O-CO-NR_{N-8}R_{N-8}$  where  $R_{N-8}$  are the same or different and are as defined above,

(O)  $-O-(C_1-C_5 \text{ alkyl})-COOH$ ,

(P)  $-O-(C_1-C_6 \text{ alkyl optionally substituted with one, two, or three of } -F, -Cl, -Br, \text{ or } -I)$ ,

(Q)  $-NH-SO_2-(C_1-C_6 \text{ alkyl})$ , and

(R)  $-F$ , or  $-Cl$ ;

where  $R_A$  is:

(I)  $-C_1-C_{10}$  alkyl optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy,  $-O$ -phenyl,  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,  $-OC=O NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,  $-S(=O)_{0.2} R_{1-a}$  where  $R_{1-a}$  is as defined above,  $-NR_{1-a}C=O NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,  $-C=O NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above, and  $-S(=O)_2 NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(II)  $-(CH_2)_{0.3}-(C_3-C_8)$  cycloalkyl where cycloalkyl can be optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkCyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy,  $-O$ -phenyl,  $-CO-OH$ ,  $-CO-O-(C_1-C_4 \text{ alkyl})$ , and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(III)  $-(CR_{A-x}R_{A-y})_{0.4}-R_{A-aryl}$  where  $R_{A-x}$  and  $R_{A-y}$  are

(A)  $-H$ ,

(B)  $C_1-C_4$  alkyl optionally substituted with one or two  $-OH$ ,

(C)  $C_1-C_4$  alkoxy optionally substituted with one, two, or three of  $-F$ ,

(D)  $-(CH_2)_{0.4}-C_3-C_7$  cycloalkyl,

(E)  $C_2-C_6$  alkenyl containing one or two double bonds,

(F)  $C_2-C_6$  alkynyl containing one or two triple bonds, or

(G) phenyl,

and where  $R_{A-x}$  and  $R_{A-y}$  are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of  $-O-$ ,  $-S-$ ,  $-SO_2-$ , and  $-NR_{N-2}-$  and  $R_{A-aryl}$  is the same as  $R_{N-aryl}$ ,

(IV)  $-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}\text{-R}_{\text{A-heteroaryl}}$  where  $\text{R}_{\text{A-heteroaryl}}$  is the same as  $\text{R}_{\text{N-heteroaryl}}$  and  $\text{R}_{\text{A-x}}$  and  $\text{R}_{\text{A-y}}$  are as defined above,

(V)  $-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}\text{-R}_{\text{A-aryl}}\text{-R}_{\text{A-aryl}}$  where  $\text{R}_{\text{A-aryl}}$ ,  $\text{R}_{\text{A-x}}$  and  $\text{R}_{\text{A-y}}$  are as defined above,

5 (VI)  $-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}\text{-R}_{\text{A-aryl}}\text{-R}_{\text{A-heteroaryl}}$  where  $\text{R}_{\text{A-aryl}}$ ,  $\text{R}_{\text{A-heteroaryl}}$ ,  $\text{R}_{\text{A-x}}$  and  $\text{R}_{\text{A-y}}$  are as defined above,

(VII)  $-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}\text{-R}_{\text{A-heteroaryl}}\text{-R}_{\text{A-aryl}}$  where  $\text{R}_{\text{A-heteroaryl}}$ ,  $\text{R}_{\text{A-aryl}}$ ,  $\text{R}_{\text{A-x}}$  and  $\text{R}_{\text{A-y}}$  are as defined above,

10 (VIII)  $-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}\text{-R}_{\text{A-heteroaryl}}\text{-R}_{\text{A-heteroaryl}}$  where  $\text{R}_{\text{A-heteroaryl}}$ ,  $\text{R}_{\text{A-x}}$  and  $\text{R}_{\text{A-y}}$  are as defined above,

(IX)  $-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}\text{-R}_{\text{A-aryl}}\text{-R}_{\text{A-heterocycle}}$  where  $\text{R}_{\text{A-heterocycle}}$  is defined as  $\text{R}_{\text{L-heterocycle}}$ , and where  $\text{R}_{\text{A-aryl}}$ ,  $\text{R}_{\text{A-x}}$  and  $\text{R}_{\text{A-y}}$  are as defined above,

(X)  $-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}\text{-R}_{\text{A-heteroaryl}}\text{-R}_{\text{A-heterocycle}}$  where  $\text{R}_{\text{A-heteroaryl}}$ ,  $\text{R}_{\text{A-heterocycle}}$ ,  $\text{R}_{\text{A-x}}$  and  $\text{R}_{\text{A-y}}$  are as defined above,

15 (XI)  $-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}\text{-R}_{\text{A-heterocycle}}\text{-R}_{\text{A-aryl}}$  where  $\text{R}_{\text{A-heterocycle}}$ ,  $\text{R}_{\text{A-aryl}}$ ,  $\text{R}_{\text{A-x}}$  and  $\text{R}_{\text{A-y}}$  are as defined above,

(XII)  $-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}\text{-R}_{\text{A-heterocycle}}\text{-R}_{\text{A-heteroaryl}}$  where  $\text{R}_{\text{A-heterocycle}}$ ,  $\text{R}_{\text{A-heteroaryl}}$ ,  $\text{R}_{\text{A-x}}$  and  $\text{R}_{\text{A-y}}$  are as defined above,

20 (XIII)  $-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}\text{-R}_{\text{A-heterocycle}}\text{-R}_{\text{A-heterocycle}}$  where  $\text{R}_{\text{A-heterocycle}}$ ,  $\text{R}_{\text{A-x}}$  and  $\text{R}_{\text{A-y}}$  are as defined above,

(XIV)  $-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}\text{-R}_{\text{A-heterocycle}}$  where  $\text{R}_{\text{A-heterocycle}}$ ,  $\text{R}_{\text{A-x}}$  and  $\text{R}_{\text{A-y}}$  are as defined above,

(XV)  $[\text{C}(\text{R}_{\text{A-1}})(\text{R}_{\text{A-2}})]_{1-3}\text{-CO-N}(\text{R}_{\text{A-3}})_2$  where  $\text{R}_{\text{A-1}}$  and  $\text{R}_{\text{A-2}}$  are the same or different and are selected from the group consisting of:

25 (A) -H,

(B)  $\text{-C}_1\text{-C}_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $\text{C}_1\text{-C}_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $\text{-C}\equiv\text{N}$ ,  $\text{-CF}_3$ ,  $\text{C}_1\text{-C}_6$  alkoxy, -O-phenyl, and  $\text{-NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above,

30 (C)  $\text{C}_2\text{-C}_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of  $\text{C}_1\text{-C}_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $\text{-C}\equiv\text{N}$ ,  $\text{-CF}_3$ ,  $\text{C}_1\text{-C}_6$  alkoxy, -O-phenyl, and  $\text{-NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above,



(D) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

5 (E) -(CH<sub>2</sub>)<sub>1-2</sub>-S(O)<sub>0-2</sub>-(C<sub>1</sub>-C<sub>6</sub> alkyl),

(F) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

10 (G) -(C<sub>1</sub>-C<sub>4</sub> alkyl)-R<sub>A'-aryl</sub> where R<sub>A'-aryl</sub> is as defined for R<sub>1-aryl</sub>,

(H) -(C<sub>1</sub>-C<sub>4</sub> alkyl)-R<sub>A-heteroaryl</sub> where R<sub>A-heteroaryl</sub> is as defined above,

(I) -(C<sub>1</sub>-C<sub>4</sub> alkyl)-R<sub>A-heterocycle</sub> where R<sub>A-heterocycle</sub> is as defined above,

(J) -R<sub>A-heteroaryl</sub> where R<sub>A-heteroaryl</sub> is as defined above,

(K) -R<sub>A-heterocycle</sub> where R<sub>A-heterocycle</sub> is as defined above,

15 (M) -(CH<sub>2</sub>)<sub>1-4</sub>-R<sub>A-4</sub>-(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>A'-aryl</sub> where R<sub>A-4</sub> is -O-, -S- or -NR<sub>A-5</sub>- where R<sub>A-5</sub> is C<sub>1</sub>-C<sub>6</sub> alkyl, and where R<sub>A'-aryl</sub> is defined above,

(N) -(CH<sub>2</sub>)<sub>1-4</sub>-R<sub>A-4</sub>-(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>A-heteroaryl</sub> where R<sub>A-4</sub> and R<sub>A-heteroaryl</sub> are as defined above, and

(O) -R<sub>A'-aryl</sub> where R<sub>A'-aryl</sub> is as defined above,

20 and where R<sub>A-3</sub> is the same or different and is:

(A) -H,

(B) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

25 (C) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

30 (D) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(E)  $-(CH_2)_{0-4}-C_3-C_7$  cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy,  $-O$ -phenyl, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

5 (F)  $-R_{A'-aryl}$  where  $R_{A'-aryl}$  is as defined above,

(G)  $-R_{A-heteroaryl}$  where  $R_{A-heteroaryl}$  is as defined above,

(H)  $-R_{A-heterocycle}$  where  $R_{A-heterocycle}$  is as defined above,

(I)  $-(C_1-C_4 \text{ alkyl})-R_{A'-aryl}$  where  $R_{A'-aryl}$  is as defined above,

(J)  $-(C_1-C_4 \text{ alkyl})-R_{A-heteroaryl}$  where  $R_{A-heteroaryl}$  is as defined above,

10 (K)  $-(C_1-C_4 \text{ alkyl})-R_{A-heterocycle}$  where  $R_{A-heterocycle}$  is as defined above, or

(XVI)  $-\text{CH}(R_{A-aryl})_2$  where  $R_{A-aryl}$  are the same or different and are as defined above,

(XVII)  $-\text{CH}(R_{A-heteroaryl})_2$  where  $R_{A-heteroaryl}$  are the same or different and are  
15 as defined above,

(XVIII)  $-\text{CH}(R_{A-aryl})(R_{A-heteroaryl})$  where  $R_{A-aryl}$  and  $R_{A-heteroaryl}$  are as defined above,

(XIX)  $-\text{cyclopentyl}$ ,  $-\text{cyclohexyl}$ , or  $-\text{cycloheptyl}$  ring fused to  $R_{A-aryl}$ ,  $R_{A-heteroaryl}$ ,  $R_{A-heterocycle}$  where  $R_{A-aryl}$  or  $R_{A-heteroaryl}$  or  $R_{A-heterocycle}$  are as defined above where  
20 one carbon of cyclopentyl, cyclohexyl, or  $-\text{cycloheptyl}$  is optionally replaced with  $NH$ ,  $NR_{N-5}$ ,  $O$ , or  $S(=O)_{0-2}$ , and where cyclopentyl, cyclohexyl, or  $-\text{cycloheptyl}$  can be optionally substituted with one or two  $-C_1-C_3$  alkyl,  $-F$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy,  $=O$ , or  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(XX)  $C_2-C_{10}$  alkenyl containing one or two double bonds optionally  
25 substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy,  $-O$ -phenyl, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(XXI)  $C_2-C_{10}$  alkynyl containing one or two triple bonds optionally  
substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$   
30 alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy,  $-O$ -phenyl,  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(XXI)  $-(CH_2)_{0-1}-CHR_{A-6}-(CH_2)_{0-1}-R_{A-aryl}$  where  $R_{A-aryl}$  is as defined above and  $R_{A-6}$  is  $-(CH_2)_{0-6}-OH$ ,

(XXII)  $-(CH_2)_{0-1}-CHR_{A-6}-(CH_2)_{0-1}-R_{A-heteroaryl}$  where  $R_{A-heteroaryl}$  and  $R_{A-6}$  is as defined above,

(XXIII)  $-\text{CH}(-R_{A-aryl} \text{ or } R_{A-heteroaryl})-\text{CO}-\text{O}(\text{C}_1-\text{C}_4 \text{ alkyl})$  where  $R_{A-aryl}$  and  $R_{A-heteroaryl}$  are as defined above,

5 (XXIV)  $-\text{CH}(-\text{CH}_2-\text{OH})-\text{CH}(-\text{OH})-\text{micro-NO}_2$ ,

(XXV)  $(\text{C}_1-\text{C}_6 \text{ alkyl})-\text{O}-(\text{C}_1-\text{C}_6 \text{ alkyl})-\text{OH}$ ,

(XXVII)  $-\text{CH}_2-\text{NH}-\text{CH}_2-\text{CH}(-\text{O}-\text{CH}_2-\text{CH}_3)_2$ ,

(XXVIII)  $-\text{H}$ ,

10 (XXIX)  $-(CH_2)_{0-6}-\text{C}(=\text{NR}_{1-a})(\text{NR}_{1-a}\text{R}_{1-b})$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above; or

(XXX)

$-\text{C}=\text{OC}(\text{HR}_6)\text{NHR}_7$ , where  $R_6$  and  $R_7$  are as defined below,

$-\text{C}=\text{OR}_7$ , where  $R_7$  is as defined below,

$-\text{C}=\text{OOR}_7$ , where  $R_7$  is as defined below, or

15  $-\text{SOOR}_7$  where  $R_7$  is as defined below,

wherein  $R_6$  is:

hydrogen,

$\text{C}_1 - \text{C}_3$  alkyl,

phenyl,

20 thioalkoxyalkyl,

alkyl substituted aryl,

cycloalkyl,

cycloalkylalkyl,

hydroxyalkyl,

25 alkoxyalkyl,

aryloxyalkyl,

haloalkyl,

carboxyalkyl,

alkoxycarbonylalkyl,

30 aminoalkyl,

(N-protected)aminoalkyl,

alkylaminoalkyl,

((N-protected)(alkyl)amino)alkyl,

dialkylaminoalkyl,

5                   guanidinoalkyl,  
                   lower alkenyl,  
                   heterocyclic,  
                   (heterocyclic)alkyl),  
 10                  arylthioalkyl,  
                   arylsulfonyalkyl,  
                   (heterocyclic)thioalkyl,  
                   (heterocyclic)sulfonylalkyl,  
                   (heterocyclic)oxyalkyl,  
 15                  arylalkoxyalkyl,  
                   arylthioalkoxyalkyl,  
                   arylalkylsulfonylalkyl,  
                   (heterocyclic))alkoxyalkyl,  
                   (heterocyclic)thioalkoxyalkyl,  
                   (heterocyclic)alkylsulfonylalkyl,  
                   cycloalkyloxyalkyl,  
                   cycloalkylthioalkyl,  
                   cycloalkylsulfonylalkyl,  
                   cycloalkylalkoxyalkyl,  
 20                  cycloalkylthioalkoxyalkyl,  
                   cycloalkylalkylsulfonylalkyl,  
                   aminocarbonyl,  
                   alkylaminocarbonyl,  
                   dialkylaminocarbonyl,  
 25                  aroylalkyl,  
                   (heterocyclic)carbonylalkyl,  
                   polyhydroxyalkyl,  
                   aminocarbonylalkyl,  
                   alkylaminocarbonylalkyl,  
 30                  dialkylaminocarbonylalkyl,  
                   aryloxyalkyl, or  
                   alkylsulfonylalkyl,

wherein heterocyclic is pyridyl, thiazolyl, isothiazolyl,  
 oxazolyl, isoxazolyl, furanyl, thienyl, tetrahydrofuranyl, tetrahydrothienyl and

tetrahydro[2H]pyranyl and wherein the heterocycle is unsubstituted or substituted with one to three substituents independently selected from hydroxy, halo, amino, alkylamino, dialkylamino, alkoxy, polyalkoxy, haloalkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, COOH, -SO<sub>3</sub>H, lower alkenyl or lower alkyl;

5

wherein R<sub>7</sub> is:C<sub>1</sub> - C<sub>3</sub> alkyl,

phenyl,

thioalkoxyalkyl,

(aryl)alkyl,

10

cycloalkyl,

cycloalkylalkyl,

hydroxyalkyl,

alkoxyalkyl,

aryloxyalkyl,

15

haloalkyl,

carboxyalkyl,

alkoxycarbonylalkyl,

aminoalkyl,

(N-protected)aminocalkyl,

20

alkylaminoalkyl,

((N-protected)(alkyl)amino)alkyl,

dialkylaminoalkyl,

guanidinoalkyl,

lower alkenyl,

25

heterocyclic,

(heterocyclic)alkyl),

arylthioalkyl,

arylsulfonyalkyl,

(heterocyclic)thioalkyl,

30

(heterocyclic)sulfonylalkyl,

(heterocyclic)oxyalkyl,

arylalkoxyalkyl,

arylthioalkoxyalkyl,

arylalkylsulfonylalkyl,

(heterocyclic))alkoxyalkyl,  
 (heterocyclic)thioalkoxyalkyl,  
 (heterocyclic)alkylsulfonylalkyl,  
 cycloalkyloxyalkyl,  
 5 cycloalkylthioalkyl,  
 cycloalkylsulfonylalkyl,  
 cycloalkylalkoxyalkyl,  
 cycloalkylthioalkoxyalkyl,  
 cycloalkylalkylsulfonylalkyl,  
 10 aminocarbonyl,  
 alkylaminocarbonyl,  
 dialkylaminocarbonyl,  
 aroylalkyl,  
 (heterocyclic)carbonylalkyl,  
 15 polyhydroxyalkyl,  
 aminocarbonylalkyl,  
 alkylaminocarbonylalkyl,  
 dialkylaminocarbonylalkyl,  
 aryloxyalkyl, or  
 20 alkylsulfonylalkyl,

wherein heterocyclic is pyridyl, thiazolyl, isothiazolyl,  
 oxazolyl, isoxazolyl, furanyl, thienyl, tetrahydrofuranyl, tetrahydrothienyl and  
 tetrahydro[2H]pyranyl and wherein the heterocycle is unsubstituted or substituted with  
 one to three substituents independently selected from hydroxy, halo, amino, alkylamino,  
 25 dialkylamino, alkoxy, polyalkoxy, haloalkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl,  
 COOH, -SO<sub>3</sub>H, lower alkenyl or lower alkyl;

where X is -N, or -O, with the proviso that when X is O, R<sub>B</sub> is absent;

and when X is N,

30 R<sub>B</sub> is:

(I)-C<sub>1</sub>-C<sub>10</sub> alkyl optionally substituted with one, two or three substituents  
 selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH,

-SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -OC=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -S(=O)<sub>0-2</sub> R<sub>1-a</sub> where R<sub>1-a</sub> is as defined above, -NR<sub>1-a</sub>C=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -C=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, and -S(=O)<sub>2</sub> NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(II) -(CH<sub>2</sub>)<sub>0-3</sub>-(C<sub>3</sub>-C<sub>8</sub>) cycloalkyl where cycloalkyl can be optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, -CO-OH, -CO-O-(C<sub>1</sub>-C<sub>4</sub> alkyl), and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(III) -(CR<sub>B-x</sub>R<sub>B-y</sub>)<sub>0-4</sub>-R<sub>B-aryl</sub> where R<sub>B-x</sub> and R<sub>B-y</sub> are

(A) -H,

(B) C<sub>1</sub>-C<sub>4</sub> alkyl optionally substituted with one or two -OH,

(C) C<sub>1</sub>-C<sub>4</sub> alkoxy optionally substituted with one, two, or three of -

F,

(D) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl,

(E) C<sub>2</sub>-C<sub>6</sub> alkenyl containing one or two double bonds,

(F) C<sub>2</sub>-C<sub>6</sub> alkynyl containing one or two triple bonds, or

(G) phenyl,

and where R<sub>B-x</sub> and R<sub>B-y</sub> are taken together with the carbon to

which they are attached to form a carbocycle of three, four, five, six or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-, -SO<sub>2</sub>-, and -NR<sub>N-2</sub> where R<sub>N-2</sub> is as defined above, and R<sub>B-aryl</sub> is the same as R<sub>N-aryl</sub> and is defined above

(IV) -(CR<sub>B-x</sub>R<sub>B-y</sub>)<sub>0-4</sub>-R<sub>B-heteroaryl</sub> where R<sub>B-heteroaryl</sub> is the same as R<sub>N-heteroaryl</sub>,

R<sub>B-x</sub>, and R<sub>B-y</sub> are as defined above,

(V) -(CR<sub>B-x</sub>R<sub>B-y</sub>)<sub>0-4</sub>-R<sub>B-aryl</sub>-R<sub>B-aryl</sub> where R<sub>B-aryl</sub>, R<sub>B-x</sub>, and R<sub>B-y</sub> are as defined above,

(VI) -(CR<sub>B-x</sub>R<sub>B-y</sub>)<sub>0-4</sub>-R<sub>B-aryl</sub>-R<sub>B-heteroaryl</sub> where R<sub>B-aryl</sub>, R<sub>B-heteroaryl</sub>, R<sub>B-x</sub> and R<sub>B-y</sub> are as defined above,

(VII) -(CR<sub>B-x</sub>R<sub>B-y</sub>)<sub>0-4</sub>-R<sub>B-heteroaryl</sub>-R<sub>B-aryl</sub> where R<sub>B-heteroaryl</sub>, R<sub>B-aryl</sub>, R<sub>B-x</sub> and R<sub>B-y</sub> are as defined above,

(VIII) -(CR<sub>B-x</sub>R<sub>B-y</sub>)<sub>0-4</sub>-R<sub>B-heteroaryl</sub>-R<sub>B-heteroaryl</sub> where R<sub>B-heteroaryl</sub>, R<sub>B-x</sub> and R<sub>B-y</sub> are as defined above,

(IX)  $-(\text{CR}_{\text{B-x}}\text{R}_{\text{B-y}})_{0-4}-\text{R}_{\text{B-aryl}}-\text{R}_{\text{B-heterocycle}}$  where  $\text{R}_{\text{B-heterocycle}}$  is defined as  $\text{R}_{\text{1-heterocycle}}$ , and where  $\text{R}_{\text{B-aryl}}$ ,  $\text{R}_{\text{B-x}}$  and  $\text{R}_{\text{B-y}}$  are as defined above,

(X)  $-(\text{CR}_{\text{B-x}}\text{R}_{\text{B-y}})_{0-4}-\text{R}_{\text{B-heteroaryl}}-\text{R}_{\text{B-heterocycle}}$  where  $\text{R}_{\text{B-heteroaryl}}$ ,  $\text{R}_{\text{B-heterocycle}}$ ,  $\text{R}_{\text{B-x}}$  and  $\text{R}_{\text{B-y}}$  are as defined above,

5 (XI)  $-(\text{CR}_{\text{B-x}}\text{R}_{\text{B-y}})_{0-4}-\text{R}_{\text{B-heterocycle}}-\text{R}_{\text{B-aryl}}$  where  $\text{R}_{\text{B-heterocycle}}$ ,  $\text{R}_{\text{B-aryl}}$ ,  $\text{R}_{\text{B-x}}$  and  $\text{R}_{\text{B-y}}$  are as defined above,

(XII)  $-(\text{CR}_{\text{B-x}}\text{R}_{\text{B-y}})_{0-4}-\text{R}_{\text{B-heterocycle}}-\text{R}_{\text{B-heteroaryl}}$  where  $\text{R}_{\text{B-heterocycle}}$ ,  $\text{R}_{\text{B-heteroaryl}}$ ,  $\text{R}_{\text{B-x}}$  and  $\text{R}_{\text{B-y}}$  are as defined above,

10 (XIII)  $-(\text{CR}_{\text{B-x}}\text{R}_{\text{B-y}})_{0-4}-\text{R}_{\text{B-heterocycle}}-\text{R}_{\text{B-heterocycle}}$  where  $\text{R}_{\text{B-heterocycle}}$ ,  $\text{R}_{\text{B-x}}$  and  $\text{R}_{\text{B-y}}$  are as defined above,

(XIV)  $-(\text{CR}_{\text{B-x}}\text{R}_{\text{B-y}})_{0-4}-\text{R}_{\text{B-heterocycle}}$  where  $\text{R}_{\text{B-heterocycle}}$ ,  $\text{R}_{\text{B-x}}$  and  $\text{R}_{\text{B-y}}$  are as defined above,

(XV)  $-[\text{C}(\text{R}_{\text{B-1}})(\text{R}_{\text{B-2}})]_{1-3}-\text{CO}-\text{N}-(\text{R}_{\text{B-3}})_2$  where  $\text{R}_{\text{B-1}}$  and  $\text{R}_{\text{B-2}}$  are the same or different and are selected from the group consisting of:

15 (A) -H,

(B)  $-\text{C}_1-\text{C}_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $\text{C}_1-\text{C}_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ ,  $\text{C}_1-\text{C}_6$  alkoxy, -O-phenyl, and  $-\text{NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above,

20 (C)  $\text{C}_2-\text{C}_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of  $\text{C}_1-\text{C}_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ ,  $\text{C}_1-\text{C}_6$  alkoxy, -O-phenyl, and  $-\text{NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above,

(D)  $\text{C}_2-\text{C}_6$  alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of  $\text{C}_1-\text{C}_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ ,  $\text{C}_1-\text{C}_6$  alkoxy, -O-phenyl, and  $-\text{NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above,

(E)  $-(\text{CH}_2)_{1-2}-\text{S}(\text{O})_{0-2}-(\text{C}_1-\text{C}_6 \text{ alkyl})$ ,

30 (F)  $-(\text{CH}_2)_{0-4}-\text{C}_3-\text{C}_7$  cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $\text{C}_1-\text{C}_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ ,  $\text{C}_1-\text{C}_6$  alkoxy, -O-phenyl, and  $-\text{NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above,



(G)  $-(C_1-C_4 \text{ alkyl})-R_{B'-\text{aryl}}$  where  $R_{B'-\text{aryl}}$  is as defined above for  $R_1$ -aryl,

(H)  $-(C_1-C_4 \text{ alkyl})-R_{B-\text{heteroaryl}}$  where  $R_{B-\text{heteroaryl}}$  is as defined above,

(I)  $-(C_1-C_4 \text{ alkyl})-R_{B-\text{heterocycle}}$  where  $R_{B-\text{heterocycle}}$  is as defined above,

5 (J)  $-R_{B-\text{heteroaryl}}$  where  $R_{B-\text{heteroaryl}}$  is as defined above,

(K)  $-R_{B-\text{heterocycle}}$  where  $R_{B-\text{heterocycle}}$  is as defined above,

(M)  $-(CH_2)_{1-4}-R_{B-4}-(CH_2)_{0-4}-R_{B'-\text{aryl}}$  where  $R_{B-4}$  is  $-O-$ ,  $-S-$  or  $-NR_{B-5}-$  where  $R_{B-5}$  is  $C_1-C_6$  alkyl, and where  $R_{B'-\text{aryl}}$  is defined above,

10 (N)  $-(CH_2)_{1-4}-R_{B-4}-(CH_2)_{0-4}-R_{B-\text{heteroaryl}}$  where  $R_{B-4}$  and  $R_{B-\text{heteroaryl}}$  are as defined above, and

(O)  $-R_{B'-\text{aryl}}$  where  $R_{B'-\text{aryl}}$  is as defined above,

and where  $R_{B-3}$  is the same or different and is:

(A)  $-H$ ,

15 (B)  $-C_1-C_6$  alkyl optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy,  $-O$ -phenyl, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

20 (C)  $C_2-C_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy,  $-O$ -phenyl, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

25 (D)  $C_2-C_6$  alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy,  $-O$ -phenyl, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(E)  $-(CH_2)_{0-4}-C_3-C_7$  cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy,  $-O$ -phenyl, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

30 (F)  $-R_{B'-\text{aryl}}$  where  $R_{B'-\text{aryl}}$  is as defined above,

(G)  $-R_{B-\text{heteroaryl}}$  where  $R_{B-\text{heteroaryl}}$  is as defined above,

(H)  $-R_{B-\text{heterocycle}}$  where  $R_{B-\text{heterocycle}}$  is as defined above,

(I)  $-(C_1-C_4 \text{ alkyl})-R_{B'-\text{aryl}}$  where  $R_{B'-\text{aryl}}$  is as defined above,

(J)  $-(C_1-C_4 \text{ alkyl})-R_{B\text{-heteroaryl}}$  where  $R_{B\text{-heteroaryl}}$  is as defined above,

(K)  $-(C_1-C_4 \text{ alkyl})-R_{B\text{-heterocycle}}$  where  $R_{B\text{-heterocycle}}$  is as defined

above, or

(XVI)  $-\text{CH}(R_{B\text{-aryl}})_2$  where  $R_{B\text{-aryl}}$  are the same or different and are as  
5 defined above,

(XVII)  $-\text{CH}(R_{B\text{-heteroaryl}})_2$  where  $R_{B\text{-heteroaryl}}$  are the same or different and are  
as defined above,

(XVIII)  $-\text{CH}(R_{B\text{-aryl}})(R_{B\text{-heteroaryl}})$  where  $R_{B\text{-aryl}}$  and  $R_{B\text{-heteroaryl}}$  are as  
defined above,

(XIX) -cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to  $R_{B\text{-aryl}}$  or  $R_{B\text{-heteroaryl}}$  or  $R_{B\text{-heterocycle}}$  where  $R_{B\text{-aryl}}$  or  $R_{B\text{-heteroaryl}}$  or  $R_{B\text{-heterocycle}}$  are as defined above where  
10 one carbon of cyclopentyl, cyclohexyl, or -cycloheptyl is optionally replaced with  $\text{NH}$ ,  $\text{NR}_{N-5}$ ,  $\text{O}$ , or  $\text{S}(=\text{O})_{0-2}$ , and where cyclopentyl, cyclohexyl, or -cycloheptyl can be  
optionally substituted with one or two  $-C_1-C_3$  alkyl,  $-\text{F}$ ,  $-\text{OH}$ ,  $-\text{SH}$ ,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ ,  $C_1-C_6$   
15 alkoxy,  $=\text{O}$ , or  $-\text{NR}_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(XX)  $C_2-C_{10}$  alkenyl containing one or two double bonds optionally  
substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$   
alkyl,  $-\text{F}$ ,  $-\text{Cl}$ ,  $-\text{Br}$ ,  $-\text{I}$ ,  $-\text{OH}$ ,  $-\text{SH}$ ,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ ,  $C_1-C_6$  alkoxy,  $-\text{O-phenyl}$ , and  $-\text{NR}_{1-a}R_{1-b}$   
where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(XXI)  $C_2-C_{10}$  alkynyl containing one or two triple bonds optionally  
20 substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$   
alkyl,  $-\text{F}$ ,  $-\text{Cl}$ ,  $-\text{Br}$ ,  $-\text{I}$ ,  $-\text{OH}$ ,  $-\text{SH}$ ,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ ,  $C_1-C_6$  alkoxy,  $-\text{O-phenyl}$ , and  $-\text{NR}_{1-a}R_{1-b}$   
where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(XXI)  $-(\text{CH}_2)_{0-1}-\text{CHR}_{C-6}-(\text{CH}_2)_{0-1}-R_{B\text{-aryl}}$  where  $R_{B\text{-aryl}}$  is as defined above  
25 and  $R_{C-6}$  is  $-(\text{CH}_2)_{0-6}-\text{OH}$ ,

(XXII)  $-(\text{CH}_2)_{0-1}-\text{CHR}_{B-6}-(\text{CH}_2)_{0-1}-R_{B\text{-heteroaryl}}$  where  $R_{B\text{-heteroaryl}}$  and  $R_{C-6}$  is  
as defined above,

(XXIII)  $-\text{CH}(-R_{B\text{-aryl}} \text{ or } R_{B\text{-heteroaryl}})-\text{CO}-\text{O}(C_1-C_4 \text{ alkyl})$  where  $R_{B\text{-aryl}}$  and  
 $R_{B\text{-heteroaryl}}$  are as defined above,

(XXIV)  $-\text{CH}(-\text{CH}_2-\text{OH})-\text{CH}(-\text{OH})-\text{micro-NO}_2$ ,

(XXV)  $(C_1-C_6 \text{ alkyl})-\text{O}-(C_1-C_6 \text{ alkyl})-\text{OH}$ ,

(XXVII)  $-\text{CH}_2-\text{NH}-\text{CH}_2-\text{CH}(-\text{O}-\text{CH}_2-\text{CH}_3)_2$ ,

(XXVIII)  $-\text{H}$ , or

(XXIX)  $-(CH_2)_{0-6}-C(=NR_{1-a})(NR_{1-a}R_{1-b})$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above;

or a pharmaceutically acceptable salt thereof.

5 2. A substituted amine according to claim 1

where  $R_1$  is:

$-(CH_2)_{0-1}-(R_{1-aryl})$ , or

$-(CH_2)_{n1}-(R_{1-heteroaryl})$ ;

where  $R_N$  is:

10  $R_{N-1}-X_N$ , where  $X_N$  is selected from the group consisting of:

$-CO-$ , and

$-SO_2-$ ,

where  $R_{N-1}$  is selected from the group consisting of:

$-R_{N-aryl}$ , and

15  $-R_{N-heteroaryl}$ , or

$-CO-CH(-(CH_2)_{0-2}-O-R_{N-10})-(CH_2)_{0-2}-R_{N-aryl}/R_{N-heteroaryl}$ ;

where  $R_A$  is:

$-C_1-C_8$  alkyl,

$-(CH_2)_{0-3}-(C_3-C_7)$  cycloalkyl,

20  $-(CR_{A-x}R_{A-y})_{0-4}-R_{A-aryl}$ ,

$-(CR_{A-x}R_{A-y})_{0-4}-R_{A-heteroaryl}$ ,

$-(CR_{A-x}R_{A-y})_{0-4}-R_{A-heterocycle}$ ,

$-cyclopentyl$  or  $-cyclohexyl$  ring fused to  $R_{A-aryl}$  or  $R_{A-heteroaryl}$  or  $R_{A-}$

heterocycle;

25 where  $X$  is  $-N$  or  $-O$ , with the proviso that when  $X$  is  $O$ ,  $R_B$  is absent;

and when  $X$  is  $N$ ,

$R_B$  is:

$-C_1-C_8$  alkyl,

$-(CH_2)_{0-3}-(C_3-C_7)$  cycloalkyl,

30  $-(CR_{A-x}R_{A-y})_{0-4}-R_{A-aryl}$ ,

$-(CR_{A-x}R_{A-y})_{0-4}-R_{A-heteroaryl}$ ,

$-(CR_{A-x}R_{A-y})_{0-4}-R_{A-heterocycle}$ ,

$-cyclopentyl$  or  $-cyclohexyl$  ring fused to  $R_{A-aryl}$  or  $R_{A-heteroaryl}$  or  $R_{A-}$

heterocycle.